10/627,934

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FILE 'HOME' ENTERED AT 12:50:59 ON 02 DEC 2004

=> file biosis medline caplus wpids uspatfull
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'BIOSIS' ENTERED AT 12:51:20 ON 02 DEC 2004
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FILE 'USPATFULL' ENTERED AT 12:51:20 ON 02 DEC 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

*** YOU HAVE NEW MAIL ***

=> s synthes? (15a) silyl?
L1          7064 SYNTHES? (15A) SILYL?

=> s l1 and dihalosilane
L2             2 L1 AND DIHALOSILANE

=> d l2 bib abs 1-2

L2   ANSWER 1 OF 2  USPATFULL on STN
AN     77:52594  USPATFULL
TI     Intermediates for preparing cephalosporins
IN     Robinson, Charles A., West Chester, PA, United States
PA     American Home Products Corporation (Del.), New York, NY, United States
       (U.S. corporation)
PI     US 4051131              19770927
AI     US 1976-669135          19760322 (5)
RLI    Division of Ser. No. US 1972-310511, filed on 29 Nov 1972, now patented,
       Pat. No. US 3965098
DT     Utility
FS     Granted
EXNAM  Primary Examiner: Rizzo, Nicholas S.
LREP   Venetianer, Stephen
CLMN   Number of Claims: 7
ECL    Exemplary Claim: 1
DRWN   No Drawings
LN.CNT 437
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     Δ.sup.3 -Cephalosporins are prepared by reacting novel
       diorganodihalosilane or monorganodihalosilane derivatives of
       7-aminocephalosporanic acid ("7ACA") and 7-amino-
       desacetoxycephalosporanic acid ("7ADCA") with known acylating agents
       followed by hydrolysis or alcoholysis to produce Δ.sup.3
       -cephalosporins with useful antibiotic activity. The dialkyldihalosilane
       derivatives are prepared by adding a base such as triethylamine slowly
       to a mixture of 7ACA or 7ADCA and a dialkyldihalosilane.
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L2 ANSWER 2 OF 2 USPATFULL on STN
AN 76:35015 USPATFULL
TI Intermediates for preparing cephalosporins and methods of production
IN Robinson, Charles A., West Chester, PA, United States
PA American Home Products Corporation, New York, NY, United States (U.S. corporation)
PI US 3965098 19760622
AI US 1972-310511 19721129 (5)
DT Utility
FS Granted
EXNAM Primary Examiner: Rizzo, Nicholas S.
LREP Venetianer, Stephen
CLMN Number of Claims: 13
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 448
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Δ.sup.3 -CEPHALOSPORINS ARE PREPARED BY REACTING NOVEL DIORGANODIHALOSILANE OR MONORGANODIHALOSILANE DERIVATIVES OF 7-AMINOCEPHALOSPORANIC ACID ("7ACA") and 7-amino-desacetoxycephalosporanic acid ("7ADCA") with known acylating agents followed by hydrolysis or alcoholysis to produce Δ.sup.3 -cephalosporins with useful antibiotic activity. The dialkyldihalosilane derivatives are prepared by adding a base such as triethylamine slowly to a mixture of 7ACA or 7ADCA and a dialkyldihalosilane.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l2 kwic 1

L2 ANSWER 1 OF 2 USPATFULL on STN
SUMM The use of tri-organo substituted silane ("**silyl**") intermediates for the **synthesis** of cephalosporins from 7-ACA and 7-ADCA has been described in several patents and is well known in the prior art.. . .
SUMM For example, British Pat. No. 1,073,530 discloses the **synthesis** of cephalosporins by **silylating** "7ACA" with monohalosilanes, silazanes or **silylamines** followed by acylating the intermediate trialkyl silyl derivative of "7ACA". U.S. Pat. No. 3,671,449 discloses mono and di-silylated "7ACA" and. . .
SUMM . . . as triethylamine or diethylamine. Greatest efficiency is obtained by using no more than two equivilents of base per mole of **dihalosilane**.
SUMM . . . purity, free from Δ.sup.2 -isomerization by-products, the base employed as acid acceptor is added slowly to a mixture of the **dihalosilane** and ACA or ADCA in a suitable solvent medium at a temperature at which silenation proceeds readily, e.g. 0°-20° C.. . . these operations, it is essential that the total quantity of base used be limited to two equivalents per mole of **dihalosilane** in order to avoid an excess at any point in the reaction. Less than this amount can be employed without. . .

=> d his

(FILE 'HOME' ENTERED AT 12:50:59 ON 02 DEC 2004)

FILE 'BIOSIS, MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 12:51:20 ON 02 DEC 2004

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L1          7064 S SYNTHES? (15A) SILYL?
L2             2 S L1 AND DIHALOSILANE

=> s l1 and silane?
L3          1389 L1 AND SILANE?

=> s l3 and capture tag?
L4             0 L3 AND CAPTURE TAG?

=> s l3 and biotin
L5            26 L3 AND BIOTIN

=> s l5 and cholesterol
L6             7 L5 AND CHOLESTEROL

=> dup rem l6
PROCESSING COMPLETED FOR L6
L7             7 DUP REM L6 (0 DUPLICATES REMOVED)

=> d l7 bib abs 1-7

L7   ANSWER 1 OF 7  USPATFULL on STN
AN     2004:221379  USPATFULL
TI     Modulation of insulin like growth factor I receptor expression
IN     Wraight, Christopher J., Blackburn, AUSTRALIA
       Werther, George A., Camberwell, AUSTRALIA
       Dean, Nicholas M., Carlsbad, CA, UNITED STATES
       Dobie, Kenneth J., Carlsbad, CA, UNITED STATES
PI     US 2004171149      A1   20040902
AI     US 2003-365352     A1   20030211 (10)
PRAI   AU 2003-2003900609  20030211
DT     Utility
FS     APPLICATION
LREP   Michael R. Ward, Morrison & Foerster LLP, 425 Market Street, San
       Francisco, CA, 94105-2842
CLMN   Number of Claims: 55
ECL    Exemplary Claim: 1
DRWN   15 Drawing Page(s)
LN.CNT 4267
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB     The present invention provides compositions and methods for modulating
       the expression of growth factor gene. In particular, this invention
       relates to compounds, particularly oligonucleotide compounds, which, in
       preferred embodiments, hybridize with nucleic acid molecules encoding
       the Insulin Like Growth Factor I receptor (IGF-I receptor or IGF-IR) and
       in particular human IGF-IR. Such compounds are exemplified herein to
       modulate proliferation which is relevant to the treatment of
       proliferative and inflammatory skin disorders and cancer. It will be
       understood, however, that the compounds can be used for any other
       condition in which the IGF-IR is involved including inflammatory
       conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7   ANSWER 2 OF 7  USPATFULL on STN
AN     2004:39326  USPATFULL
TI     Reverse-turn mimetics and methods relating thereto
IN     Kahn, Michael, Kirkland, WA, UNITED STATES
       Eguchi, Masakatsu, Seattle, WA, UNITED STATES
       Kim, Hwa-Ok, Redmond, WA, UNITED STATES
       Stasiak, Marcin, Seattle, WA, UNITED STATES
PA     Molecumetics, Ltd., Bellevue, WA (U.S. corporation)
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PI US 2004029868 A1 20040212
AI US 2003-360549 A1 20030207 (10)
RLI Continuation of Ser. No. US 2000-742680, filed on 19 Dec 2000, GRANTED, Pat. No. US 6548500 Continuation of Ser. No. US 1999-344221, filed on 25 Jun 1999, GRANTED, Pat. No. US 6184223 Continuation-in-part of Ser. No. US 1997-846432, filed on 30 Apr 1997, GRANTED, Pat. No. US 6013458 Continuation-in-part of Ser. No. US 1995-549007, filed on 27 Oct 1995, GRANTED, Pat. No. US 5929237
DT Utility
FS APPLICATION
LREP SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 9 Drawing Page(s)
LN.CNT 1735
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Conformationally constrained compounds which mimic the secondary structure of reverse-turn regions of biologically active peptides and proteins are disclosed. Such reverse-turn mimetics have utility in the treatment of cell adhesion-indicated diseases, such as multiple sclerosis, atherosclerosis, asthma and inflammatory bowel disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 3 OF 7 USPATFULL on STN
AN 2003:319513 USPATFULL
TI Reagent and process for protecting active groups
IN Sanghvi, Yogesh S., Encinitas, CA, UNITED STATES
Theodorakis, Emmanuel A., San Diego, CA, UNITED STATES
Wen, Ke, San Diego, CA, UNITED STATES
PI US 2003225262 A1 20031204
US 6800751 B2 20041005
AI US 2002-120649 A1 20020411 (10)
DT Utility
FS APPLICATION
LREP WOODCOCK WASHBURN LLP, ONE LIBERTY PLACE - 46TH FLOOR, PHILADELPHIA, PA, 19103
CLMN Number of Claims: 178
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 3434
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Silylating reagents having a group other than a divalent oxygen separating two silyl groups provide regioselective protection of reactive groups under robust conditions, such as basic conditions used in alkylation, acylation and deoxygenation. In particular, silylating reagents having a group other than oxygen separating two silyl groups are useful for protecting two hydroxy groups of a ribonucleic or deoxyribonucleic acid. Alkylation of a 2'-hydroxy group of a ribonucleoside protected with the inventive silylating agents in the presence of an excess of a mild hindered base such as sodium HMDS may be carried out without protecting the exocyclic amine and oxo functionalities of nucleobases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 4 OF 7 USPATFULL on STN
AN 2003:200449 USPATFULL
TI Selective cellular targeting: multifunctional delivery vehicles, multifunctional prodrugs, use as antineoplastic drugs
IN Glazier, Arnold, Newton, MA, UNITED STATES

A Drug Innovation & Design, Inc. (U.S. corporation)
I US 2003138432 A1 20030724
I US 2000-738625 A1 20001215 (9)
LI Continuation of Ser. No. US 2000-712465, filed on 15 Nov 2000, ABANDONED
RAI US 1999-165485P 19991115 (60)
US 2000-239478P 20001011 (60)
US 2000-241939P 20001010 (60)
T Utility
S APPLICATION
REP N. Scott Pierce, Esq., HAMILTON, BROOK, SMITH & REYNOLDS, P.C., Two Militia Drive, Lexington, MA, 02421-4799
LMN Number of Claims: 29
CL Exemplary Claim: 1
RWN No Drawings
N.CNT 18716
AS INDEXING IS AVAILABLE FOR THIS PATENT.
B The present invention relates to the compositions, methods, and applications of a novel approach to selective cellular targeting. The purpose of this invention is to enable the selective delivery and/or selective activation of effector molecules to target cells for diagnostic or therapeutic purposes. The present invention relates to multi-functional prodrugs or targeting vehicles wherein each functionality is capable of enhancing targeting selectivity, affinity, intracellular transport, activation or detoxification. The present invention also relates to ultra-low dose, multiple target, multiple drug chemotherapy and targeted immunotherapy for cancer treatment.

AS INDEXING IS AVAILABLE FOR THIS PATENT.

7 ANSWER 5 OF 7 USPATFULL on STN
N 2002:37900 USPATFULL
I Reverse-turn mimetics and methods relating thereto
N Kahn, Michael, Kirkland, WA, UNITED STATES
Eguchi, Masakatsu, Bellevue, WA, UNITED STATES
Kim, Hwa-Ok, Redmond, WA, UNITED STATES
Stasiak, Marcin, Kirkland, WA, UNITED STATES
I US 2002022620 A1 20020221
US 6548500 B2 20030415
I US 2000-742680 A1 20001219 (9)
LI Continuation of Ser. No. US 1999-344221, filed on 25 Jun 1999, GRANTED, Pat. No. US 6184223 Continuation-in-part of Ser. No. US 1997-846432, filed on 30 Apr 1997, GRANTED, Pat. No. US 6013458 Continuation-in-part of Ser. No. US 1995-549007, filed on 27 Oct 1995, GRANTED, Pat. No. US 5929237
T Utility
S APPLICATION
REP SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092
LMN Number of Claims: 23
CL Exemplary Claim: 1
RWN 9 Drawing Page(s)
N.CNT 1737
AS INDEXING IS AVAILABLE FOR THIS PATENT.
B Conformationally constrained compounds which mimic the secondary structure of reverse-turn regions of biologically active peptides and proteins are disclosed. Such reverse-turn mimetics have utility in the treatment of cell adhesion-indicated diseases, such as multiple sclerosis, atherosclerosis, asthma and inflammatory bowel disease.

AS INDEXING IS AVAILABLE FOR THIS PATENT.

7 ANSWER 6 OF 7 USPATFULL on STN

AN 2001:200167 USPATFULL
TI Reverse-turn mimetics and methods relating thereto
IN Kahn, Michael, Kirkland, WA, United States
Eguchi, Masakatsu, Bellevue, WA, United States
Kim, Hwa-Ok, Redmond, WA, United States
Stasiak, Marcin, Kirkland, WA, United States
PI US 2001039274 A1 20011108
US 6413963 B2 20020702
AI US 2000-742682 A1 20001219 (9)
RLI Continuation of Ser. No. US 1999-344221, filed on 25 Jun 1999, GRANTED, Pat. No. US 6184223 Continuation-in-part of Ser. No. US 1997-846432, filed on 30 Apr 1997, GRANTED, Pat. No. US 6013458 Continuation-in-part of Ser. No. US 1995-549007, filed on 27 Oct 1995, GRANTED, Pat. No. US 5929237
DT Utility
FS APPLICATION
LREP SEED INTELLECTUAL PROPERTY LAW GROUP PLLC, 701 FIFTH AVE, SUITE 6300, SEATTLE, WA, 98104-7092
CLMN Number of Claims: 23
ECL Exemplary Claim: 1
DRWN 9 Drawing Page(s)
LN.CNT 1739
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Conformationally constrained compounds which mimic the secondary structure of reverse-turn regions of biologically active peptides and proteins are disclosed. Such reverse-turn mimetics have utility in the treatment of cell adhesion-indicated diseases, such as multiple sclerosis, atherosclerosis, asthma and inflammatory bowel disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 7 OF 7 USPATFULL on STN
AN 2001:18470 USPATFULL
TI Reverse-turn mimetics and methods relating thereto
IN Kahn, Michael, Kirkland, WA, United States
Eguchi, Masakatsu, Bellevue, WA, United States
Kim, Hwa-Ok, Redmond, WA, United States
Stasiak, Marcin, Kirkland, WA, United States
PA Molecumetics Ltd., Bellevue, WA, United States (U.S. corporation)
PI US 6184223 B1 20010206
AI US 1999-344221 19990625 (9)
RLI Continuation-in-part of Ser. No. US 1997-846432, filed on 30 Apr 1997, now patented, Pat. No. US 6013458 Continuation-in-part of Ser. No. US 1995-549007, filed on 27 Oct 1995, now patented, Pat. No. US 5929237
DT Utility
FS Granted
EXNAM Primary Examiner: Aulakh, Charanjit S.
LREP Seed Intellectual Property Law Group PLLC
CLMN Number of Claims: 22
ECL Exemplary Claim: 1
DRWN 9 Drawing Figure(s); 9 Drawing Page(s)
LN.CNT 1743
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Conformationally constrained compounds which mimic the secondary structure of reverse-turn regions of biologically active peptides and proteins are disclosed. Such reverse-turn mimetics have utility in the treatment of cell adhesion-indicated diseases, such as multiple sclerosis, atherosclerosis, asthma and inflammatory bowel disease.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d l7 7 kwic

L7 ANSWER 7 OF 7 USPATFULL on STN

DETD . . . alkyl portion of the lower chain alkyl and aralkyl moieties, including (but not limited to) alkyl and aralkyl phosphonates and **silanes**.

DETD . . . or compound. For example, the compounds of this invention may be linked to one or more known compounds, such as **biotin**, for use in diagnostic or screening assay. Furthermore, R.sub.1, R.sub.2, R.sub.3, R.sub.4 or R.sub.5 may be a linker joining the. . .

DETD . . . D. Young, Solid Phase Peptide Synthesis, 1984, Pierce Chemical Comp., Rockford, Ill.; Atherton, E., Shepard, R. C. Solid Phase Pepetide **Synthesis**: A Practical Approach; IRL: Oxford, 1989) or on a **silyl**-linked resin by alcohol attachment (see Randolph et al., J. Am Chem. Soc. 117:5712-14, 1995).

DETD . . . small unilamellar vesicles, large unilamellar vesicles and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as **cholesterol**, stearylamine or phosphatidylcholines.

=>

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=> d his

     (FILE 'HOME' ENTERED AT 12:50:59 ON 02 DEC 2004)

     FILE 'BIOSIS, MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 12:51:20 ON
     02 DEC 2004
L1          7064 S SYNTHES? (15A) SILYL?
L2             2 S L1 AND DIHALOSILANE
L3          1389 S L1 AND SILANE?
L4             0 S L3 AND CAPTURE TAG?
L5            26 S L3 AND BIOTIN
L6             7 S L5 AND CHOLESTEROL
L7             7 DUP REM L6 (0 DUPLICATES REMOVED)

     FILE 'REGISTRY' ENTERED AT 13:05:50 ON 02 DEC 2004
L8                STRUCTURE UPLOADED
L9          4116 S L8 FULL

     FILE 'CAPLUS' ENTERED AT 13:06:20 ON 02 DEC 2004
L10         2053 S L9
L11            0 S L1 AND CAPTURE TAG
L12          127 S L10 AND SILANE
L13           36 S L12 AND SYNTHESIS

     FILE 'REGISTRY' ENTERED AT 13:08:08 ON 02 DEC 2004
L14               STRUCTURE UPLOADED
L15        75334 S L14 FULL

     FILE 'CAPLUS' ENTERED AT 13:09:05 ON 02 DEC 2004
L16        19910 S L15
L17        11785 S L16 AND SYNTHES?
L18            0 S L17 AND DIHALOSILANE
L19            3 S L17 AND HALOSILANE

=> s l17 and silane
          77082 SILANE
L20         227 L17 AND SILANE

=> s l20 and captur?
          99060 CAPTUR?
L21             1 L20 AND CAPTUR?

=> d l21 bib abs

L21  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1996:618989  CAPLUS
DN   126:7947
TI   Stereocontrolled Preparation of Spirocyclic Ethers by Intramolecular
     Trapping of Oxonium Ions with Allylsilanes
AU   Paquette, Leo A.; Tae, Jinsung
CS   Evans Chemical Laboratories, Ohio State University, Columbus, OH, 43210,
     USA
SO   Journal of Organic Chemistry (1996), 61(22), 7860-7866
     CODEN: JOCEAH; ISSN: 0022-3263
PB   American Chemical Society
DT   Journal
LA   English
AB   The stereoselectivity of the spontaneous intramol. cyclization of
     2-(benzenesulfonyl)-2-(4-(trimethylsilylmethyl)-4-
     pentenyl)tetrahydropyrans substituted by alkyl groups at various ring
     positions has been examined  For the 4- and 6-Me derivs., formation of the
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spirocyclic center occurs exclusively anti to the Me. The outcome in the 5-Me example is a 3.7:1 syn/anti split. For the trans-4,6-dimethyl derivative, the substituents act in a reinforcing manner and direct cyclization uniquely in one direction. Both the cis and trans bicyclic ethers ring close on that π-surface of the intermediate oxonium ion syn to the angular hydrogen. The results are rationalized in terms of the predilection of the associated oxonium ions for nucleophilic **capture** via a chairlike or twist-boat transition state.
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l20 and alcohol
218364 ALCOHOL
L22 12 L20 AND ALCOHOL

=> s l22 not l21
L23 12 L22 NOT L21

=> dup rem l23
PROCESSING COMPLETED FOR L23
L24 12 DUP REM L23 (0 DUPLICATES REMOVED)

=> d l24 bib abs 1-12

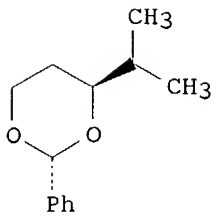
L24 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:539673 CAPLUS
DN 141:207277
TI Ruthenium-Catalyzed Silyl Ether Formation and Enyne Metathesis Sequence: **Synthesis** of Siloxacycles from Terminal Alkenyl Alcohols and Alkynylsilanes
AU Miller, Reagan L.; Maifeld, Sarah V.; Lee, Daesung
CS Department of Chemistry, University of Wisconsin-Madison, Madison, WI, 53706, USA
SO Organic Letters (2004), 6(16), 2773-2776
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
AB Dehydrogenative silylation of various alcs. by hydrosilanes afforded alkenyl- and alkynylsilyl ethers; followed by tandem ene-yne ring-closing metathesis with alkynylsilyl moiety, this reaction afforded 5-13-membered cyclic vinylsilyl ethers, 1,2-oxasila-3-cycloalkenes. Reaction of allyl, propargyl and homopropargyl alcs. with hydrosilanes, catalyzed by [RuCl2(p-cymene)]2 afforded corresponding alkoxysilanes with high yields with almost complete absence of multiple bond hydrogenation byproducts. The same catalyst was active in tandem dehydrogenative etherification-RCM reaction of HPh2SiC.tplbond.CR (R = CH2OMe, Bu, H) with CH2:CH(CH2)nCH2OH (n = 0-4, 8), giving cyclic silyl ethers 2-CR:CH2-cyclo-OSiC:CHCH2(CH2)n. The metal-catalyzed dehydrogenative condensation between alcs. and silanes, generating mol. hydrogen as the only byproduct, allows for the subsequent enyne metathesis without isolating the intermediate silyl ethers. This system provides a streamlined **synthesis** of synthetically useful building blocks.
RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:1000504 CAPLUS
DN 141:242819
TI Product class 4: organometallic complexes of copper
AU Heaney, H.; Christie, S.

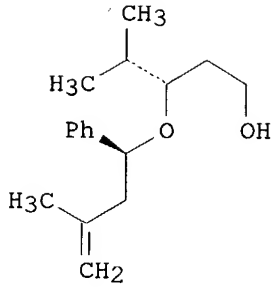
CS Dept. of Chemistry, University of Loughborough, Loughborough, LE11 3TU, UK
SO Science of Synthesis (2004), 3, 305-662
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review. The use of copper and related complexes in applications to organic **synthesis** is reviewed.
RE.CNT 1706 THERE ARE 1706 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:25146 CAPLUS
DN 140:111435
TI Product class 10: organometallic complexes of titanium
AU Mikami, K.; Matsumoto, Y.; Shiono, T.
CS Department of Chemistry, Faculty of Engineering, Tokyo Institute of Technology, Meguro-ku, Tokyo, Japan
SO Science of Synthesis (2003), 2, 457-679
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review of application and preparation of organometallic complexes of titanium. These complexes are useful as catalysts in organic **synthesis** and for preparation of polymers.
RE.CNT 934 THERE ARE 934 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

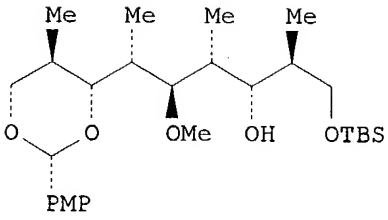
L24 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:669887 CAPLUS
DN 137:352969
TI Inter- and Intramolecular Differentiation of Enantiotopic Dioxane Acetals through Oxazaborolidinone-Mediated Enantioselective Ring-Cleavage Reaction: Kinetic Resolution of Racemic 1,3-Alkanediols and Asymmetric Desymmetrization of Meso-1,3-polyols
AU Harada, Toshiro; Egusa, Takayuki; Igarashi, Yasuto; Kinugasa, Motoharu; Oku, Akira
CS Department of Chemistry, Kyoto Institute of Technology, Matsugasaki, Sakyo, Kyoto, 606-8585, Japan
SO Journal of Organic Chemistry (2002), 67(20), 7080-7090
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 137:352969
GI



I



II



III

AB Racemic acetals such as I, derived from racemic 1,3-alkanediols, underwent kinetic resolution by a chiral oxazaborolidinone-mediated ring-cleavage reaction with nucleophiles such as enol silanes and allylic silanes to give mixts. of one of the enantiomers of the starting acetals and nonracemic acetal cleavage products such as II. The enantioselectivity of the kinetic resolution and ring cleavage was affected by nucleophiles, the N-sulfonyl groups of oxazaborolidinones, and the substituents attached to the acetal carbon. Either allylic silanes or silyl enol ethers and silyl ketene acetals were effective nucleophiles in the kinetic resolns. Reactions with simple acetals were successful using N-mesylamino acids as precursors, but other cleavage reactions required the use of either N-tosyl or N-trifluoromethanesulfonyl amino acids as precursors. Substitution of a Ph group at the acetal carbon gave products in high selectivities. For disubstituted acetals such as I and for a trisubstituted acetal derived from syn-2,4-dimethyl-1,3-pentanediol, satisfactory enantioselectivity was obtained by using methallylsilanes as nucleophiles in combination with an N-mesyloxazaborolidinone derived from N-mesyl-L-phenylalanine and phenylboron dichloride. Enantioselective ring opening of a trisubstituted acetal derived from anti-2,4-dimethyl-1,3-pentanediol was best achieved by using a silyl ketene acetal in combination with an N-tosyl-L-phenylalanine-derive oxazaborolidinone. The conditions optimized for the kinetic resolution of racemic acetals were successfully applied to asym. desymmetrization of meso-1,3-polyols through intramol. differentiation of the enantiotopic acetal moieties of the bis-acetal derivs. The utility of the ring-cleavage reaction as a method for enantioselective terminal differentiation of prochiral polyols was demonstrated by the convergent asym. **synthesis** of pentol derivative III (PMP = 4-MeOC6H4; TBS = Me3CSiMe2), a protected version of the C19-C27 ansa-chain of rifamycin S.

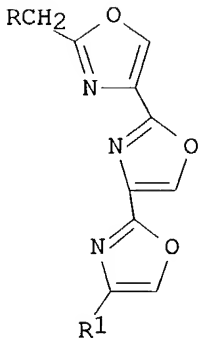
RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:145045 CAPLUS
DN 136:340730
TI [3 + 2] Annulation of β-Heteroatom-Substituted α,β-Unsaturated Acylsilanes with Methyl Ketone Enolates: Scope and Investigation of the Reaction Course

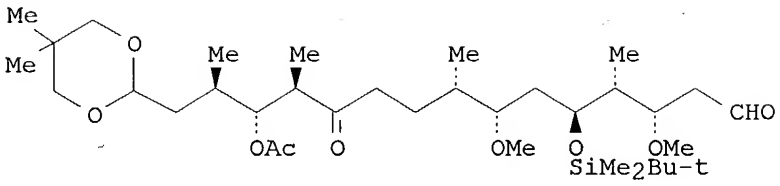
AU Takeda, Kei; Yamawaki, Kenji; Hatakeyama, Noriaki
CS Faculty of Pharmaceutical Sciences, Toyama Medical and Pharmaceutical University, Toyama, 930-0194, Japan
SO Journal of Organic Chemistry (2002), 67(6), 1786-1794
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 136:340730
AB A new route to (Z)-β-silylacryloylsilanes 10 ((Z)-RMe2SiCH:CHC(O)SiMe2tBu; R = Me, Ph) and the improved conditions for the [3 + 2] annulation using 10 and alkyl Me ketone enolates to give 3-cyclopentenols (e.g. anti-4-((tert-butyldimethylsilyl)oxy)-1-ethyl-2-(trimethylsilyl)-3-cyclopenten-1-ol) are reported. Also, details of studies defining a reaction course of the [3 + 2] annulation using β-phenylthio- and β-trimethylsilyl-acryloylsilanes 1 (XCH:CHC(O)SiR3; X = SPh, SiMe3) and alkyl Me ketone enolates are described.
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:863848 CAPLUS
DN 139:85396
TI Product subclass 25: acylsilanes
AU Page, P. C. B.; McKenzie, M. J.
CS Dept. of Chemistry, Loughborough University, Leicestershire, LE11 3TU, UK
SO Science of Synthesis (2002), 4, 513-567
CODEN: SSCYJ9
PB Georg Thieme Verlag
DT Journal; General Review
LA English
AB A review of the **synthesis** of acylsilanes and a survey of reactions thereof, e.g., nucleophilic addns.
RE.CNT 284 THERE ARE 284 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

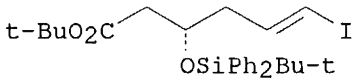
L24 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:762633 CAPLUS
DN 134:56513
TI Total **Synthesis** of the Actin-Depolymerizing Agent (-)-Mycalolide A: Application of Chiral **Silane**-Based Bond Construction Methodology
AU Panek, James S.; Liu, Ping
CS Department of Chemistry and Center for Streamlined Synthesis Metcalf Center for Science and Engineering, Boston University, Boston, MA, 02215, USA
SO Journal of the American Chemical Society (2000), 122(45), 11090-11097
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:56513
GI



I



II



III

AB A highly convergent asym. **synthesis** of the actin-depolymg. agent (-)-mycalolide A was achieved through the assembly and union of the C1-C19 trisoxazole fragment I (R = Br; R1 = CH((S)-OMe)CH((R)-Me)CO(E)-CH=CHCH2CH((S)-OSiPh2Bu-t)CH2CO2H) and the C20-C35 aliphatic fragment II, resp. The C1-C19 trisoxazole fragment I was constructed via a Kishi-Nozaki coupling between the C1-C6 subunit III and the C7-C19 subunit I (R = OSiPh2Bu-t; R1 = CH((S)-OMe)CH((R)-Me)CHO) which in turn was obtained from a highly stereoselective crotylation reaction of (3S,4E)-Me 3-(dimethylphenylsilyl)-4-hexenoic acid with trisoxazole aldehyde I (R = OSiPh2Bu-t; R1 = CHO) . The **synthesis** of II was accomplished using chiral **silane**-based bond construction methodol. for the introduction of the stereochem. relationships. Union of the advanced C1-C19 intermediate I and II through a Schlosser-Wittig protocol, macrocyclization using Yamaguchi conditions, and subsequent functional group adjustments completed the total **synthesis** of (-)-mycalolide A. The **synthesis** confirmed the relative and absolute stereochem. of (-)-mycalolide A, and illustrated the application of chiral **silane**-based C-C bond construction methodol. to the asym. **synthesis** of complex mols.

RE.CNT 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:298769 CAPLUS
DN 131:5440
TI Formal **Synthesis** of D-myo-Inositol 1,4,5-Tris(dihydrogen phosphate): Cyclization by an Unusual Ene Reaction and Use of the Bu2SnCl2/Bu2SnH2 Reagent for Generating an Equatorial **Alcohol**
AU Clive, Derrick L. J.; He, Xiao; Postema, Maarten H. D.; Mashimbye, M. Jeffrey
CS Chemistry Department, University of Alberta, Edmonton, AB, T6G 2G2, Can.
SO Journal of Organic Chemistry (1999), 64(12), 4397-4410
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society

DT Journal
LA English
OS CASREACT 131:5440
AB D-Glucose was converted into the propargyl **silane** aldehyde, which, on treatment with camphorsulfonic acid, cyclized with retention of silicon. The allenic product was elaborated via ketone, which had previously been converted into D-myo-inositol 1,4,5-tris(dihydrogen phosphate). Selective reduction of the advanced intermediate was accomplished with Bu2SnCl2/Bu2SnH2, a reagent mixture that shows a very strong preference for generating equatorial alcs. The cyclization step leading to allene was studied by examining a number of model compds.; the unusual retention of silicon appears to be limited to highly oxygenated substrates.
RE.CNT 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:484130 CAPLUS
DN 127:176004
TI Double stereodifferentiating crotylation reactions with α-amino aldehydes: asymmetric **synthesis** of vicinal amino **alcohol** synthons
AU Panek, James S.; Liu, Ping
CS Dep. Chem., Metcalf Center Sci. and Eng., Boston Univ., Boston, MA, 02215, USA
SO Tetrahedron Letters (1997), 38(29), 5127-5130
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
AB The sense and level of 1,2-asym. induction have been evaluated in the BF3·OEt2 promoted addition of (E)-crotylsilanes (R)- and (S)-MeCH:CRCH(SiMe2Ph)CH2CO2Me (R = H, Me) to α-amino aldehydes (S)-R1CH(NHBoc)CHO (R1 = CH2Ph, Ph2Si(CMe3)OCH2, Me, Me2CHCH2). The sense of carbonyl diastereoface selectivity was shown to be dependent of the chirality of the **silane** reagent.
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:134826 CAPLUS
DN 126:212275
TI Enantioselective Total **Syntheses** of the 5,11-Methanomorphanthridine Amaryllidaceae Alkaloids (-)-Pancracine and (-)-Coccinine
AU Jin, Jian; Weinreb, Steven M.
CS Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA
SO Journal of the American Chemical Society (1997), 119(8), 2050-2051
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The pentacyclic 5,11-methanomorphanthridine amaryllidaceae alkaloids (-)-pancracine (I; R1 = H, R2 = OH) and (-)-coccinine (I; R1 = OMe, R2 = H) have been prepared starting from readily available enantiomerically pure

epoxy olefin II. This epoxide was converted to allenyl **silane** /aldehyde III via an efficient sequence of reactions. The imine derived from this aldehyde underwent a stereospecific thermal imino ene reaction to afford key intermediate amino alkyne IV. It was possible to transform this compound via an intramol. Heck reaction to tetracycle V (R3R4 = CH2, R5 = Ts, R6 = CH2Ph), which could be cleanly functionalized to yield α-hydroxymethylene intermediate V (R3 = CH2OH, R4 = H, R5 = Ts, R6 = CH2Ph), and then pentacyclic alc. V (R3R5 = CH2, R4 = R6 = H). Procedures were then developed to convert this material to the enantiomerically pure alkaloids I.

L24 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:254062 CAPLUS
DN 114:254062
TI Preparation of vinyl carbonate and vinyl carbamate copolymers for contact lenses
IN Bambury, Ronald E.; Seelye, David E.
PA Bausch and Lomb Inc., USA
SO Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 396364	A2	19901107	EP 1990-304659	19900430
	EP 396364	A3	19911127		
	EP 396364	B1	19970611		
	R: DE, ES, FR, GB, IT, SE				
	US 5070215	A	19911203	US 1989-346204	19890502
	CA 2014210	AA	19901102	CA 1990-2014210	19900409
	CA 2014210	C	19990831		
	JP 03072506	A2	19910327	JP 1990-110664	19900427
	JP 3274681	B2	20020415		
	EP 757033	A2	19970205	EP 1996-202972	19900430
	EP 757033	A3	19970305		
	EP 757033	B1	19990303		
	R: DE, ES, FR, GB, IT, SE				
	ES 2104583	T3	19971016	ES 1990-304659	19900430
	ES 2131907	T3	19990801	ES 1996-202972	19900430
	AU 9054616	A1	19901108	AU 1990-54616	19900501
	AU 645749	B2	19940127		
	BR 9002045	A	19910813	BR 1990-2045	19900502
	US 5610252	A	19970311	US 1995-450510	19950525
	US 6166236	A	20001226	US 1997-784637	19970121
PRAI	US 1989-346204	A	19890502		
	EP 1990-304659	A3	19900430		
	US 1991-724091	A3	19910719		
	US 1995-450510	A3	19950525		

AB Vinyl carbonate and vinyl carbamte monomers (Markush given) are prepared and are used to produce copolymers useful as hydrogel, soft nonhydrogel, and/or rigid gas-permeable contact lens materials. Thus, 3-aminopropyl(trimethylsiloxy)**silane** was reacted with vinyl chloroformate to form 3-[tris(trimethylsiloxy)silyl]propyl vinyl carbamate, which was copolymd. in different ratios with N-vinylpyrrolidenone and 1,5-bis(vinyloxycarboxyloxy)-2,2,3,3,4,4-hexachloropentane to form soft hydrogel copolymer. Tensile strength, O permeability, refractive index, and other properties of the hydrogel polymers were determined **Synthesis** of many monomers and crosslinkers is included.

L24 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:439434 CAPLUS
DN 111:39434
TI **Synthesis** and reactions of methyl(phenylethynyl)propargyloxysila
ne
AU Karaev, S. F.; Bairamov, V. O.; Dzhafarov, D. S.; Akhundov, E. A.
CS Azerb. Inst. Nefti Khim., Baku, USSR
SO Azerbaidzhanskii Khimicheskii Zhurnal (1987), (4), 84-7
CODEN: AZKZAU; ISSN: 0005-2531
DT Journal
LA Russian
OS CASREACT 111:39434
AB PhC.tplbond.CSiHMeOCH2C.tplbond.CH (I) was prepared in 55% yield by condensation of PhC.tplbond.CSiHClMe with HC.tplbond.CCH2OH in the presence of HCl and some of its reactions were studied. Thus, treating I with RCH2OH (R = H, Me, HC.tplbond.C) in the presence of ZnCl2 gave PhC.tplbond.CSiMe(OCH2R)OCH2C.tplbond.CH.

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=> d his

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L2             2 S L1 AND DIHALOSILANE
L3          1389 S L1 AND SILANE?
L4             0 S L3 AND CAPTURE TAG?
L5            26 S L3 AND BIOTIN
L6             7 S L5 AND CHOLESTEROL
L7             7 DUP REM L6 (0 DUPLICATES REMOVED)

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L8                STRUCTURE UPLOADED
L9          4116 S L8 FULL

     FILE 'CAPLUS' ENTERED AT 13:06:20 ON 02 DEC 2004
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L11            0 S L1 AND CAPTURE TAG
L12          127 S L10 AND SILANE
L13           36 S L12 AND SYNTHESIS

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L15        75334 S L14 FULL

     FILE 'CAPLUS' ENTERED AT 13:09:05 ON 02 DEC 2004
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L17        11785 S L16 AND SYNTHES?
L18            0 S L17 AND DIHALOSILANE
L19            3 S L17 AND HALOSILANE
L20          227 S L17 AND SILANE
L21            1 S L20 AND CAPTUR?
L22           12 S L20 AND ALCOHOL
L23           12 S L22 NOT L21
L24           12 DUP REM L23 (0 DUPLICATES REMOVED)

=> s l20 not l24
L25           12 S L24
L26          215 L20 NOT L25

=> s l26 not l21
L27          214 L26 NOT L21

=> dup rem l27
PROCESSING COMPLETED FOR L27
L28           214 DUP REM L27 (0 DUPLICATES REMOVED)

=> s l28 and biotin?
L29          214 S L28
         33060 BIOTIN?
L30            0 L29 AND BIOTIN?

=> s l28 and lipophilic
L31          214 S L28
         22866 LIPOPHILIC
L32            0 L31 AND LIPOPHILIC
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=> s l28 and dihalo?
L33         214 S L28
          14213 DIHALO?
L34           2 L33 AND DIHALO?

=> d l34 bib abs 1-2

L34  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:440466  CAPLUS
DN   131:199738
TI   Derivatives of α-phosphorylated aldehydes
AU   Ismailov, Valeh Mehralioglu; Aydin, Adnan; Guseynov, Fizuddin
CS   Baku State University, Baku, 870073, Azerbaijan
SO   Tetrahedron (1999), 55(28), 8423-8432
     CODEN: TETRAB; ISSN: 0040-4020
PB   Elsevier Science Ltd.
DT   Journal
LA   English
OS   CASREACT 131:199738
AB   Conditions for the selective chlorination of α-phosphorylated
     aldehydes as a means of synthesizing α-monochloro- and
     α,α-dichlorosubstituted derivs. are described.  Dichloro
     derivs. show high reactivity and easily add thiols, amides and
     ethyleneimine to give stable hemi-thioacetals, hemiamidals and hemiaminal.
     From the silyl ether of hemiisopropyl thioacetal >140°, an
     α-ketophosphonate was obtained by the elimination of  silane
     followed by the rearrangement of the oxirane intermediate.  Alkylations of
     α-phosphorylated aldehydes with alkyl bromides gave enol ethers.
     However, dihaloalkanes such as 1,2-dibromoethane or
     1,3-dibromopropane yielded phosphatecyclanes along with enol ethers, all
     in trans configuration.
RE.CNT  6     THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34  ANSWER 2 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1996:24585  CAPLUS
DN   124:202383
TI   tert-Butyldimethylsilyldihalomethyllithium as a dihalomethylene
     dianion synthon. 1,3-Rearrangement and 1,4-rearrangement of silyl group
     from carbon to oxide
AU   Shinokubo, Hiroshi; Miura, Katsukiyo; Oshima, Koichiro; Utimoto, Kiitiro
CS   Fac. Eng., Kyoto Univ., Kyoto, 606-01, Japan
SO   Tetrahedron (1996), 52(2), 503-14
     CODEN: TETRAB; ISSN: 0040-4020
PB   Elsevier
DT   Journal
LA   English
OS   CASREACT 124:202383
AB   One-pot synthesis of R1CH(OSiMe2-t-Bu)CX2CH(OH)R2 (X = Cl, Br)
     by successive addition of two different aldehydes (R1CHO and R2CHO) has been
     achieved starting from tert-butyldimethylsilyldihalomethyllithium.
     Treatment of a THF solution of the title carbanion (X = Cl) with p-MeOC6H4CHO
     or n-BuCHO followed by an addition of HMPA and benzaldehyde gave the
     corresponding 1,3-diol monosilyl ether in 83% or 45% yield, resp.  The use
     of oxirane in place of aldehyde as the first electrophile followed by
     addition of benzaldehyde provided 1,4-diol monosilyl ether.

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provided by InfoChem.

STRUCTURE FILE UPDATES: 1 DEC 2004 HIGHEST RN 791553-15-6
DICTIONARY FILE UPDATES: 1 DEC 2004 HIGHEST RN 791553-15-6

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information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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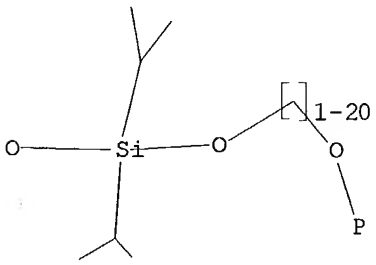

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FILE 'CAPLUS' ENTERED AT 14:35:55 ON 02 DEC 2004
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FILE COVERS 1907 - 2 Dec 2004 VOL 141 ISS 23
FILE LAST UPDATED: 1 Dec 2004 (20041201/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L3 3 L2

=> d l3 bib abs 1-3

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:965316 CAPLUS
DN 138:181615
TI Reversible biotinylation phosphoramidite for 5'-end-labeling, phosphorylation, and affinity purification of synthetic oligonucleotides
AU Fang, Shiyue; Bergstrom, Donald E.
CS Department of Medicinal Chemistry and Molecular Pharmacology, Purdue University, West Lafayette, IN, 47907, USA
SO Bioconjugate Chemistry (2003), 14(1), 80-85
CODEN: BCCHES; ISSN: 1043-1802
PB American Chemical Society
DT Journal
LA English
AB A fluoride/amine-cleavable phosphoramidite designed for biotinylation, phosphorylation, and affinity purification of synthetic oligonucleotides was synthesized and coupled efficiently to the 5'-end of DNA on a solid-phase automatic synthesizer. The two hydroxyl groups of di-Et bis(hydroxymethyl)malonate were used to link biotin and the 5'-end of DNA together through a diisopropylsilyl acetal functionality and a phosphate ester group, resp. The DNA was cleaved from solid support and fully deprotected by treating with a mixture of MeNH2 (.apprx.40%) and NH4OH (.apprx.29%) (1:1, volume/volume, 65 °C, 30 min), and the linkage between biotin and DNA was found completely stable under these conditions. The biotinylated full-length DNA was efficiently attached to NeutrAvidin coated microspheres and failure sequences and other impurities were simply removed by washing with buffer and water. The microspheres were then

treated with HF/pyridine/THF (rt, 1 h) and MeNH2 (.apprx.40%, rt, 15 min) sequentially to yield high quality full-length 5'-end phosphorylated unmodified DNA as revealed by HPLC anal. It is anticipated that this method will find applications in areas that require efficient isolation of 5'-end phosphorylated DNA from a complex mixture

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:283857 CAPLUS
DN 131:99100
TI Fast and simple purification of chemically modified hammerhead ribozymes using a lipophilic capture tag
AU Sproat, Brian S.; Rupp, Thomas; Menhardt, Norbert; Keane, Doreen; Beijer, Barbro
CS Innovir GmbH, Rosdorf, D-37124, Germany
SO Nucleic Acids Research (1999), 27(8), 1950-1955
CODEN: NARHAD; ISSN: 0305-1048
PB Oxford University Press
DT Journal
LA English
AB A new type of 5'-lipophilic capture tag is described, enabling the facile reverse phase HPLC purification of chemical modified hammerhead ribozymes (oligozymes) while still carrying the 2'-O-tert-butyldimethylsilyl protection of the essential riboses. In its most convenient form, the capture tag consists of a simple diol, such as hexan-1,6-diol, which at one end is attached via a silyl residue to a highly lipophilic entity such as tocopherol (vitamin E) or cholesterol, and the other end is functionalized as a phosphoramidite. This lipophilic capture tag is added as the last residue in the solid-phase synthesis of chemical modified hammer-head ribozymes. Cleavage from the support and release of all protecting groups except for the silyl groups is achieved with ethanolamine/ethanol. The crude product is then loaded directly on to a reverse phase HPLC column. Separation of failure peaks from full length product is achieved easily using a short run time. The retarded product peak is collected, lyophilized, desilylated in the normal way and then desalted. This method removes the lipophilic capture tag yet leaves behind the hexanediol entity which helps protect the compound against degradation by 5'-exonucleases. The purity of the product as judged by anal. anion-exchange HPLC and capillary gel electrophoresis is generally better than 95% full-length, and yields of 2-4 mg from a 1 μmol scale synthesis are routine. In addition, the method can be readily scaled up, an important feature for the development of such chemical modified ribozymes as potential therapeutics.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:34922 CAPLUS
DN 130:81794
TI Preparation and purification of oligodeoxyribonucleotides based on the hammerhead ribozyme
IN Sproat, Brian S.
PA Innovir Laboratories, Inc., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900402	A1	19990107	WO 1998-US13183	19980625

W: AU, CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US	6410225	B1	20020625	US 1997-883712	19970627
AU	9882642	A1	19990119	AU 1998-82642	19980625
EP	989991	A1	20000405	EP 1998-932849	19980625

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP	2001520679	T2	20011030	JP 1999-505702	19980625
US	2002099182	A1	20020725	US 2001-908042	20010718
US	6620926	B2	20030916		
US	2004044190	A1	20040304	US 2003-627934	20030725
PRAI US	1997-883712	A	19970627		
WO	1998-US13183	W	19980625		
US	2001-908042	A1	20010718		

AB Compns. and methods are disclosed which facilitate purification of oligomers and other compds. The disclosed compns. are silyl compns. that can be directly coupled, or coupled through a linking group, to a compound of interest, preferably to an oligomer at the end of oligomer synthesis. The silicon atom includes between one and three side chains that function as capture tags. In one embodiment, the capture tags are lipophilic, which allows a derivatized oligomer to be separated from failure sequences by reverse phase chromatog. In another embodiment, the capture tags are compds. with a known affinity for other compds., which other compds. are preferably associated with a solid support to allow chromatog. separation Examples include haptens, antibodies, and ligands. Biotin, which can bind to or interact with a streptavidin-bound solid support, is a preferred capture tag of this type.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>